

U.S.S.N. 09/630,627
Schwartz *et al.*
Preliminary Amendment

BEST AVAILABLE COPY

at page 82, line 10, please replace "sulfate," with —sulfate),—;

IN THE CLAIMS:

Please amend claims 1, 12, 13, 19, 26, and 38 as follows:

1. (Amended) A compound that has formula (I):

$P^1-S^1-B^1-M-X$

or a derivative thereof, wherein:

P^1 is a triphosphate group;

S^1 is a ribose, a deoxyribose or a dideoxyribose;

B^1 is a nucleobase;

X is a protected or unprotected hydrazino group, a protected or unprotected oxyamino group, or a carbonyl derivative; and

M is a divalent group comprising any combination of any the following groups, which are combined in any order: arylene; heteroarylene; cycloalkylene, $C(R^1)_2$, $-C(R^1)=C(R^1)-$, $>C=C(R^2)(R^3)$, $>C(R^2)(R^3)$, $-C\equiv C-$, O, $S(A)_a$, $P(D)_b(R^1)$, $P(D)_b(ER^1)$, $N(R^1)$, $>N^+(R^2)(R^3)$ and $C(E)$; where a is 0, 1 or 2; b is 0, 1, 2 or 3; A is O or NR^1 ; D is S or O; and E is S, O or NR^1 ;

each R^1 is a monovalent group independently selected from hydrogen and M^1-R^4 ;

each M^1 is a divalent group each independently comprising any[u] combination of the following groups, which groups are combined in any order: a direct link; arylene, heteroarylene, cycloalkylene, $C(R^5)_2$, $-C(R^5)=C(R^5)-$, $>C=C(R^2)(R^3)$, $>C(R^2)(R^3)$, $-C\equiv C-$, O, $S(A)_a$, $P(D)_b(R^5)$, $P(D)_b(ER^5)$, $N(R^5)$, $N(COR^5)$, $>N^+(R^2)(R^3)$ and $C(E)$; where a is 0, 1 or 2; b is 0, 1, 2 or 3; A is O or NR^5 ; D is S or O; and E is S, O or NR^5 ;

R^4 and R^5 are each independently selected from the group consisting of hydrogen, halo, pseudohalo, cyano, azido, nitro, $SiR^6R^7R^8$, alkyl, alkenyl, alkynyl, haloalkyl, haloalkoxy, aryl, aralkyl, aralkenyl, aralkynyl, heteroaryl, heteroaralkyl,

U.S.S.N. 09/630,627
Schwartz *et al.*
Preliminary Amendment

BEST AVAILABLE COPY

heteroaralkenyl, heteroaralkynyl, heterocyclyl, heterocyclylalkyl, heterocyclylalkenyl, heterocyclylalkynyl, hydroxy, alkoxy, aryloxy, aralkoxy, heteroaralkoxy and NR⁹R¹⁰;

R⁹ and R¹⁰ are each independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl and heterocyclyl;

R² and R³ are selected from (i) or (ii) as follows:

(i) R² and R³ are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl and heteroaryl; or

(ii) R² and R³ together form alkylene, alkenylene or cycloalkylene;

R⁶, R⁷ and R⁸ are each independently a monovalent group selected from hydrogen, alkyl, alkenyl, alkynyl, haloalkyl, haloalkoxy, aryl, aralkyl, aralkenyl, aralkynyl, heteroaryl, heteroaralkyl, heteroaralkenyl, heteroaralkynyl, heterocyclyl, heterocyclylalkyl, heterocyclylalkenyl, heterocyclylalkynyl, hydroxy, alkoxy, aryloxy, aralkoxy, heteroaralkoxy and NR⁹R¹⁰; and

each R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹ and R¹⁰ is unsubstituted or substituted with one or more substituents each independently selected from Z, wherein Z is selected from alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, hydroxy, S(O)_hR²⁰, NR²⁰R²¹, COOR²⁰, COR²⁰, CONR²⁰R²¹, OC(O)NR²⁰R²¹, N(R²⁰)C(O)R²¹, alkoxy, aryloxy, heteroaryl, heterocyclyl, heteroaryloxy, heterocyclyloxy, aralkyl, aralkenyl, aralkynyl, heteroaralkyl, heteroaralkenyl, heteroaralkynyl, aralkoxy, heteroaralkoxy, alkoxycarbonyl, carbamoyl, thiocarbamoyl, alkoxycarbonyl, carboxyaryl, halo, pseudohalo, haloalkyl and carboxamido; h is 0, 1 or 2; and R²⁰ and R²¹ are each independently selected from the group consisting of hydrogen, halo, pseudohalo, cyano, azido, nitro, trialkylsilyl, dialkylarylsilyl, alkyldiarylsilyl, triarylsilyl, alkyl, alkenyl, alkynyl, haloalkyl, haloalkoxy, aryl, aralkyl, aralkenyl, aralkynyl, heteroaryl, heteroaralkyl, heteroaralkenyl, heteroaralkynyl, heterocyclyl, heterocyclylalkyl,

U.S.S.N. 09/630,627
Schwartz *et al.*
Preliminary Amendment

heterocyclalkenyl, heterocyclalkynyl, hydroxy, alkoxy, aryloxy, aralkoxy, heteroaralkoxy, amino, amido, alkylamino, dialkylamino, alkylarylamino, diarylamino and arylamino.

12. (Amended) The compound of claim 1, wherein X is -C(O)R³⁰, -Y-N(R³¹)-Y¹-N(R³²)-Y² or -O-N(R³⁰)-Y²;

where R³⁰, R³¹ and R³² are each independently hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl, aralkenyl, aralkynyl, heteroaralkyl, heteroaralkenyl, heteroaralkynyl, heterocycl or cycloalkyl; Y and Y¹ are selected as in (i) or (ii) as follows:

(i) Y is a direct link, and Y¹ is a direct link, C(O)N(R³⁵), N(R³⁵)C(O)N(R³⁶), C(S)N(R³⁵), N(R³⁵)C(S)N(R³⁶) or C(O)N(R³⁵)N(R³⁶)C(O)N(R³⁷); or

(ii) Y is C(O) or OC(O), and Y¹ is a direct link;

where R³⁵, R³⁶ and R³⁷ are each independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, aralkyl, aralkenyl, aralkynyl, heteroaralkyl, heteroaralkenyl, heteroaralkynyl, heterocycl and cycloalkyl; and

Y² is a salt of the hydrazino or oxyamino group, or any amino or hydrazino protecting group;

where R³⁰, R³¹, R³², R³⁵, R³⁶, R³⁷ and Y² are [unsubstituted] unsubstituted or substituted with one or more substituents each independently selected from Z, wherein Z is selected from alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, hydroxy, S(O)_hR²⁰, NR²⁰R²¹, COOR²⁰, COR²⁰, CONR²⁰R²¹, OC(O)NR²⁰R²¹, N(R²⁰)C(O)R²¹, alkoxy, aryloxy, heteroaryl, heterocycl, heteroaryloxy, heterocyclloxy, aralkyl, aralkenyl, aralkynyl, heteroaralkyl, heteroaralkenyl, heteroaralkynyl, aralkoxy, heteroaralkoxy, alkoxycarbonyl, carbamoyl, thiocarbamoyl, alkoxycarbonyl, carboxyaryl, halo, pseudohalo, halo-alkyl and carboxamido; h is 0, 1 or 2; and R²⁰ and R²¹ are each independently

U.S.S.N. 09/630,627

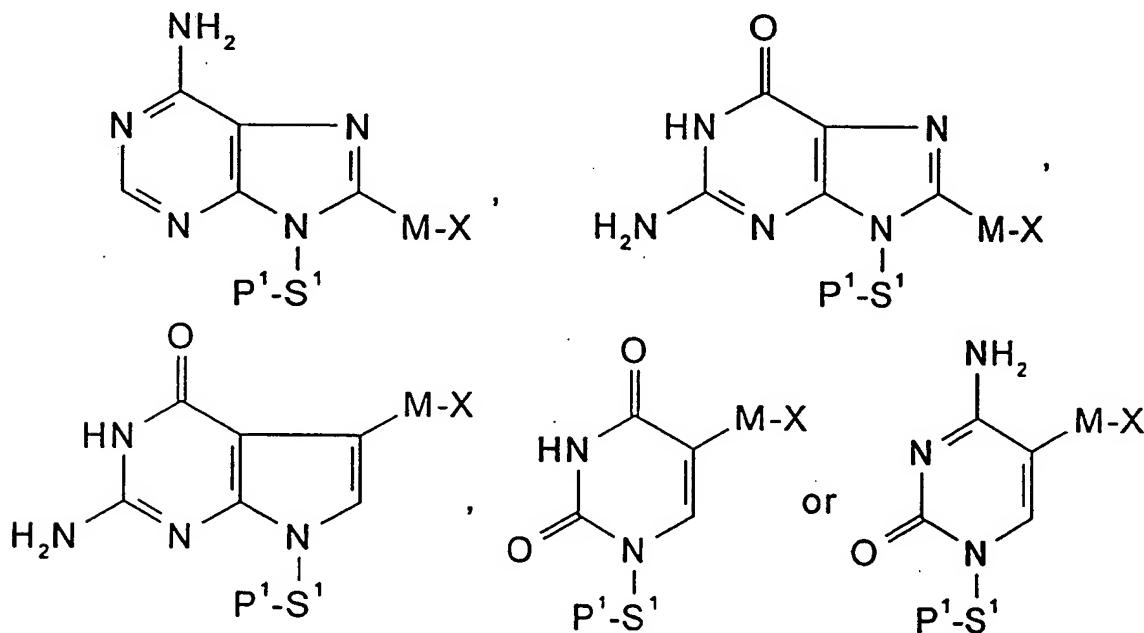
Schwartz *et al.*

Preliminary Amendment

selected from the group consisting of hydrogen, halo, pseudohalo, cyano, azido, nitro, trialkylsilyl, dialkylarylsilyl, alkyldiarylsilyl, triarylsilyl, alkyl, alkenyl, alkynyl, haloalkyl, haloalkoxy, aryl, aralkyl, aralkenyl, aralkynyl, heteroaryl, heteroaralkyl, heteroaralkenyl, heteroaralkynyl, heterocyclyl, heterocyclalkyl, heterocyclalkenyl, heterocyclalkynyl, hydroxy, alkoxy, aryloxy, aralkoxy, heteroaralkoxy, amino, amido, alkylamino, dialkylamino, alkylarylamino, diarylamino and arylamino.

13. (Amended) The compound of claim 12, wherein Y² is selected from monomethoxytrityl (MMT), dimethoxytrityl (DMT), 9-fluorenylmethoxycarbonyl (FMC), acetyl, [trifluroracetyl] trifluoroacetyl (TFA), benzoyl, or a lower aliphatic hydrazone or oxime.

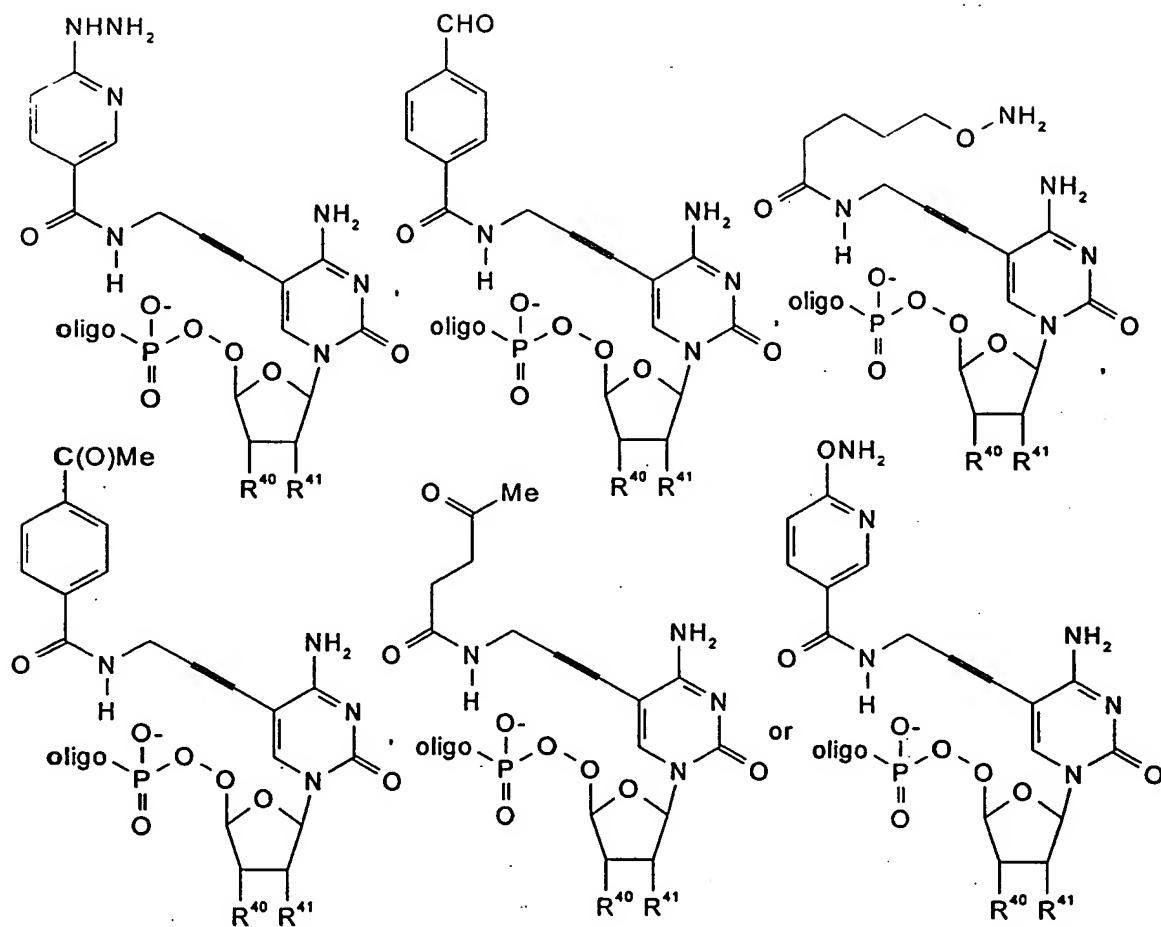
19. (Amended) The compound of claim 1 that has any of the [formula:] formulae:



26. The compound of claim 25 that has any of formula: [formula:] formulae:

U.S.S.N. 09/630,627
Schwartz *et al.*
Preliminary Amendment

BEST AVAILABLE COPY



U.S.S.N. 09/630,627
Schwartz *et al.*
Preliminary Amendment

BEST AVAILABLE COPY

wherein R⁴⁰ is selected from the group consisting of an oligonucleotide, H and OH; and R⁴¹ is selected from the group consisting of H and OH.

38. (Amended) The compound of claim 1, wherein M has the [formulae:] formula:

